

(19) World Intellectual Property Organization  
International Bureau(43) International Publication Date  
13 June 2002 (13.06.2002)

PCT

(10) International Publication Number  
WO 02/46168 A1(51) International Patent Classification<sup>7</sup>: C07D 235/04,  
409/06, 409/14, A61K 31/4184, A61P 25/00, 19/10, 9/00,  
35/00, 29/00(74) Agent: GLOBAL INTELLECTUAL PROPERTY; As-  
traZeneca AB, S-151 85 Södertälje (SE).

(21) International Application Number: PCT/SE01/02725

(22) International Filing Date: 7 December 2001 (07.12.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/251,773 7 December 2000 (07.12.2000) US  
60/251,776 7 December 2000 (07.12.2000) US  
0100008-2 2 January 2001 (02.01.2001) SE  
0100009-0 2 January 2001 (02.01.2001) SE(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG,  
SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZM, ZW.(84) Designated States (*regional*): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR,  
GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent  
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG).(71) Applicant (*for all designated States except US*): AS-  
TRAZENECA AB [SE/SE]; S-151 85 Södertälje (SE).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): BARLAAM,  
Bernard [FR/FR]; AstraZeneca Research Centre Reims,  
Box 1050, Chemin de Vrilly, F-51689 Reims Cedex 2  
(FR). DOCK, Steven [US/US]; AstraZeneca Wilmington,  
P.O. Box 15437, Wilmington, DE 19850-5437 (US).  
FOLMER, James [US/US]; AstraZeneca Wilmington,  
P.O. Box 15437, Wilmington, DE 19850-5437 (US).

## Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) *for US only*

## Published:

— with international search report

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: THERAPEUTIC BENZIMIDAZOLE COMPOUNDS

(57) Abstract: The invention relates to novel compounds having general formula (I) are useful as selective ER- $\beta$  ligands in the treatment or prophylaxis of Alzheimer's disease, anxiety disorders, depressive disorders, osteoporosis, cardiovascular disease, rheumatoid arthritis or prostate cancer.

WO 02/46168 A1



































































































